

10/580,480

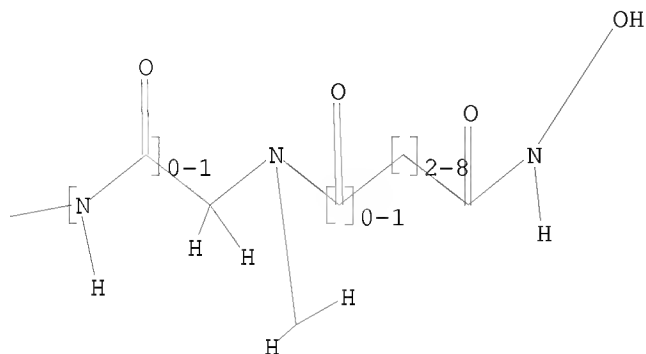
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L15        STRUCTURE UPLOADED

=> d

L15 HAS NO ANSWERS

L15                STR



Structure attributes must be viewed using STN Express query preparation.

=> s l15 sss

SAMPLE SEARCH INITIATED 14:48:02 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -     10587 TO ITERATE

18.9% PROCESSED        2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                              BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:        205572 TO    217908  
PROJECTED ANSWERS:            1 TO        243

L16                1 SEA SSS SAM L15

=> s l15 sss full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 191.05 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 14:48:21 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -    211795 TO ITERATE

100.0% PROCESSED    211795 ITERATIONS  
SEARCH TIME: 00.00.04

205 ANSWERS

L17                205 SEA SSS FUL L15

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

T0h

08/09/2010

10/923,271

FULL ESTIMATED COST	192.03	662.04
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-9.35

FILE 'CAPLUS' ENTERED AT 14:48:31 ON 08 SEP 2010  
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FILE COVERS 1907 - 8 Sep 2010 VOL 153 ISS 11  
FILE LAST UPDATED: 7 Sep 2010 (20100907/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2010  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2010

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2010.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 117

L18 47 L17

=> s 118 and Py<2004

24051605 PY<2004

L19 27 L18 AND PY<2004

=> s 118 and Py<2003

22999285 PY<2003

L20 24 L18 AND PY<2003

=> d 119 1-10 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 58.10 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L19 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:535052 CAPLUS

DOCUMENT NUMBER: 139:292132

TITLE: Design, synthesis and antimalarial activity of novel,

quinoline-Based, zinc metallo-aminopeptidase inhibitors

AUTHOR(S): Flipo, Marian; Florent, Isabelle; Grellier, Philippe; Sergheraert, Christian; Deprez-Poulain, Rebecca

CORPORATE SOURCE: Institut Pasteur et Institut de Biologie de Lille, Universite de Lille 2, UMR CNRS 8525, Lille, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(16), 2659-2662  
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

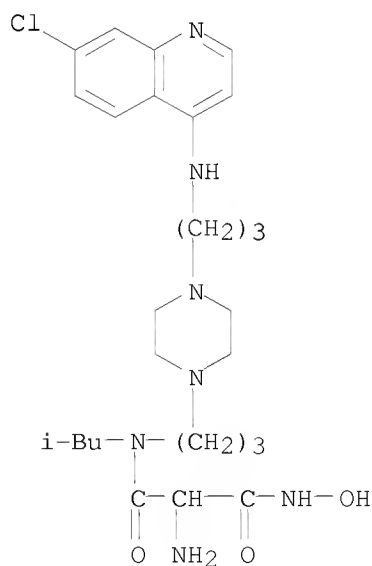
OTHER SOURCE(S): CASREACT 139:292132

AB PfA-M1, a neutral zinc aminopeptidase of Plasmodium falciparum, is a new potential target for the discovery of antimalarials. The design and synthesis of a library of 45 quinoline-based inhibitors of PfA-M1 is reported. The best inhibitor displays an IC<sub>50</sub> of 854 nM. The antimalarial activity on a CQ-resistant strain and the specificity towards mammalian aminopeptidase N are also discussed. Compds. thus prepared and evaluated included N1-hydroxy-N2-(2-methylpropyl)-N2-(4-quinolinyl)propanediamide, N1-hydroxy-N2,2-bis(2-methylpropyl)-N2-(4-quinolinyl)propanediamide and 2-amino-N1-hydroxy-N2-(2-methylpropyl)-N2-(4-quinolinyl)propanediamide. These compds. were analogs of N-(cyclopropylmethyl)-N-(4-quinolinyl)-β-alaninamide.

IT 608520-26-9P 608520-27-0P 608520-29-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(design, preparation and antimalarial activity of quinoline-based zinc metallo-aminopeptidase inhibitors)

RN 608520-26-9 CAPLUS

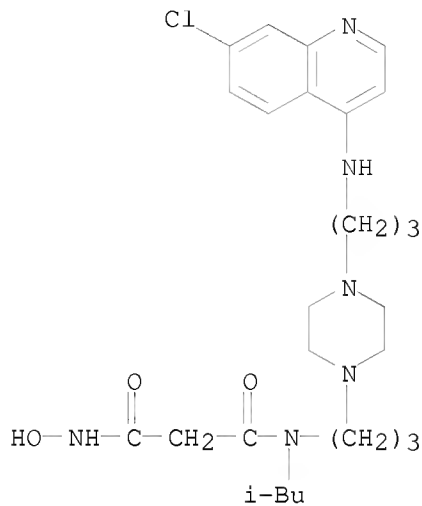
CN Propanediamide, 2-amino-N1-[3-[4-[3-[(7-chloro-4-quinolinyl)amino]propyl]-1-piperazinyl]propyl]-N3-hydroxy-N1-(2-methylpropyl)- (CA INDEX NAME)



10/923,271

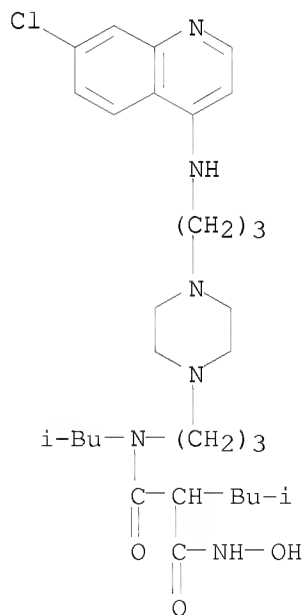
RN 608520-27-0 CAPLUS

CN Propanediamide, N1-[3-[4-[3-[(7-chloro-4-quinolinyl)amino]propyl]-1-piperazinyl]propyl]-N3-hydroxy-N1-(2-methylpropyl)- (CA INDEX NAME)



RN 608520-29-2 CAPLUS

CN Propanediamide, N1-[3-[4-[3-[ (7-chloro-4-quinoliny)amino]propyl]-1-piperazinyl]propyl]-N3-hydroxy-N1,2-bis(2-methylpropyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS  
RECORD (23 CITINGS)

10/923,271

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:485895 CAPLUS

DOCUMENT NUMBER: 139:223711

TITLE: Novel inhibitors of procollagen C-Proteinase. Part 2: glutamic acid hydroxamates

AUTHOR(S): Robinson, L. A.; Wilson, D. M.; Delaet, N. G. J.; Bradley, E. K.; Dankwardt, S. M.; Campbell, J. A.; Martin, R. L.; Van Wart, H. E.; Walker, K. A. M.; Sullivan, R. W.

CORPORATE SOURCE: CombiChem Inc., San Diego, CA, 92121, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(14), 2381-2384

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:223711

AB Glutamic acid derived hydroxamates were identified as potent and selective inhibitors of procollagen C-proteinase, an essential enzyme for the processing of procollagens to fibrillar collagens. Such compds. have potential therapeutic application in the treatment of fibrosis.

IT 279255-52-6P 591766-04-0P 591766-06-2P  
591766-07-3P

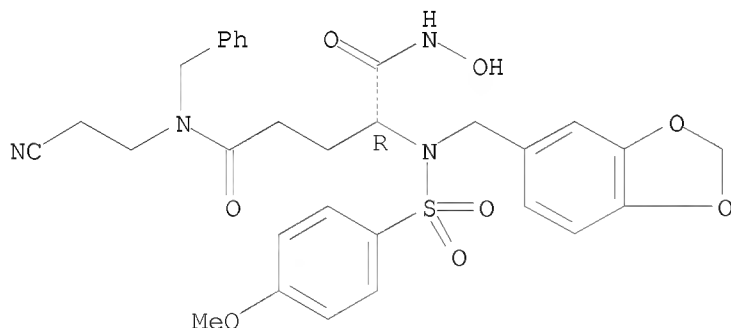
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and structure-activity relationship of glutamic acid hydroxamates as novel inhibitors of procollagen C-Proteinase)

RN 279255-52-6 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N5-(2-cyanoethyl)-N1-hydroxy-N5-(phenylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

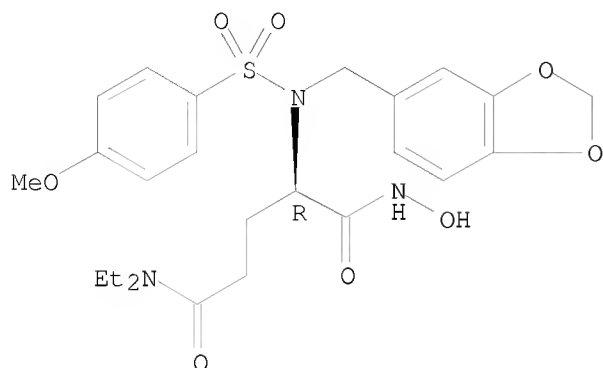


RN 591766-04-0 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N5,N5-diethyl-N1-hydroxy-, (2R)- (CA INDEX NAME)

10/923,271

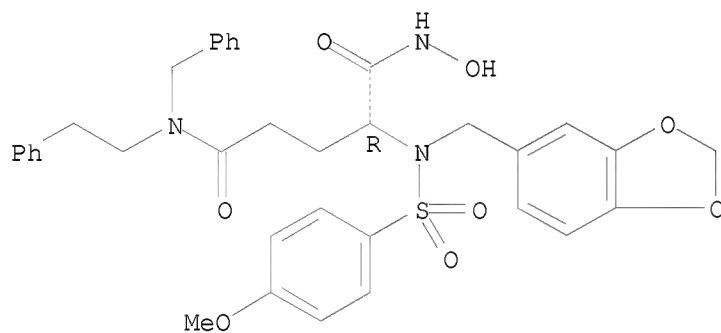
Absolute stereochemistry.



RN 591766-06-2 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N1-hydroxy-N5-(2-phenylethyl)-N5-(phenylmethyl)-, (2R)- (CA INDEX NAME)

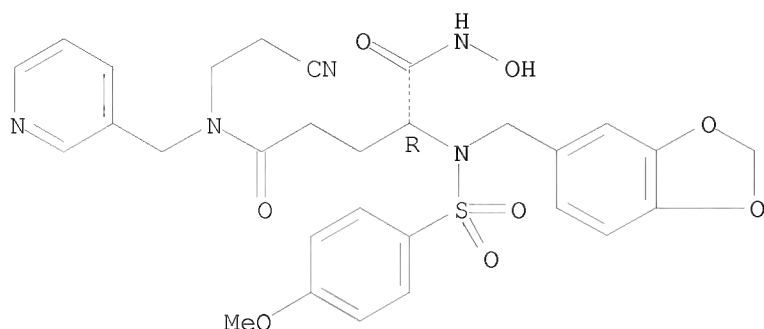
Absolute stereochemistry.



RN 591766-07-3 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-N5-(2-cyanoethyl)-N1-hydroxy-N5-(3-pyridinylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)  
 REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2003:477672 CAPLUS

DOCUMENT NUMBER: 139:350613

TITLE: Simple preparation of N-benzyl- $\beta$ -aminohydroxamic acids by 1,3-dipolar cycloaddition of nitrones

AUTHOR(S): Chevrier, Carine; Defoin, Albert

CORPORATE SOURCE: Laboratoire de Chimie Organique et Bioorganique UMR 7015, Ecole Nationale Supérieure de Chimie de Mulhouse, Université de Haute-Alsace, Mulhouse, 68093, Fr.

SOURCE: Synthesis (2003), (8), 1221-1224

CODEN: SYNTBF; ISSN: 0039-7881

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:350613

AB  $\beta$ -Aminohydroxamic acids 6a-d are prepared in 4 steps and 30-45% overall yield from nitrones 1a-d by 1,3-dipolar cycloaddn. with Ph vinyl ether, N-benzylation, thermal rearrangement, and nucleophilic substitution of the formed Ph ester with hydroxylamine. Nitrones included 3,4-dihydro-2H-pyrrole 1-oxide, 2,3,4,5-tetrahydropyridine 1-oxide, N-(butylidene)-1-butanamine N-oxide, (3R,4R)-3,4-dihydro-3,4-bis(methoxymethoxy)-2H-pyrrole. Hydroxamic acids thus prepared included N-hydroxy-1-(phenylmethyl)-2-pyrrolidineacetamide, N-hydroxy-1-(phenylmethyl)-2-piperidineacetamide, 3-[butyl(phenylmethyl)amino]-N-hydroxyhexanamide, (-)-(2R,3R,4R)-N-Hydroxy-3,4-bis(methoxymethoxy)-1-(phenylmethyl)-2-pyrrolidineacetamide, .

IT 618107-08-7P, 3-[Butyl(phenylmethyl)amino]-N-hydroxyhexanamide

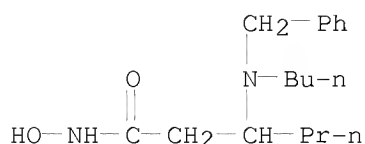
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of N-benzyl- $\beta$ -aminohydroxamic acids by 1,3-dipolar cycloaddn. of nitrones)

RN 618107-08-7 CAPLUS

CN Hexanamide, 3-[butyl(phenylmethyl)amino]-N-hydroxy- (CA INDEX NAME)

10/923,271



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)  
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:275960 CAPLUS

DOCUMENT NUMBER: 136:310184

TITLE: Preparation of hydroxamic acid peptide deformylase inhibitors as antibacterial agents

INVENTOR(S): Chong, Lee; Frechette, Roger; Scott, Carole; Tester, Richard; Smith, Whitney; Chiba, Katsumi; Sakamoto, Masatoshi; Gluchowski, Charles

PATENT ASSIGNEE(S): Questcor Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

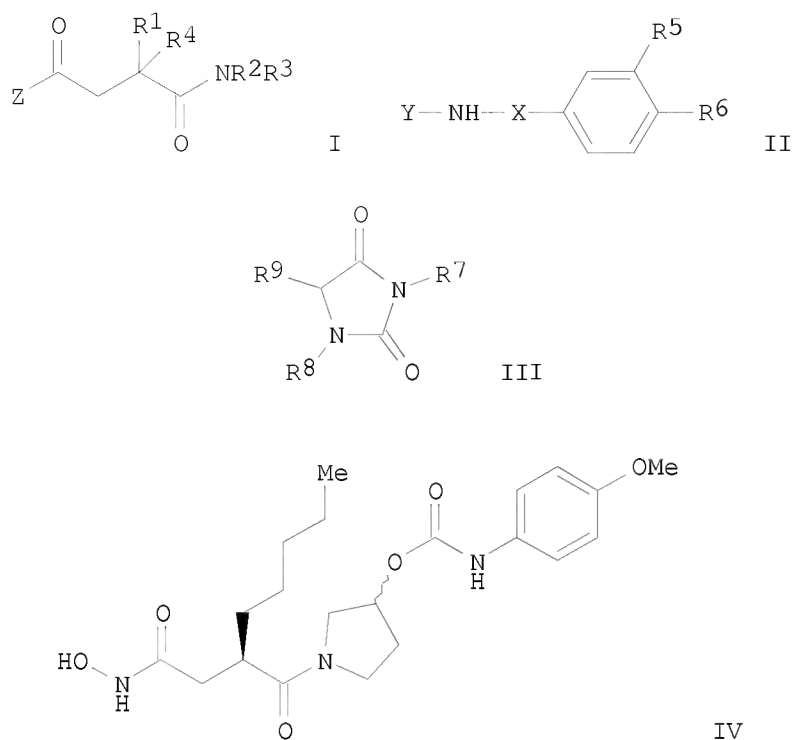
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028829	A2	20020411	WO 2001-US29926	20010924 <--
WO 2002028829	A3	20031224		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002030385	A	20020415	AU 2002-30385	20010924 <--
PRIORITY APPLN. INFO.:			US 2000-234967P	P 20000925
			US 2001-761850	A 20010118
			WO 2001-US29926	W 20010924
OTHER SOURCE(S):	MARPAT 136:310184			
GI				



AB Hydroxamic acid derivs. of peptides and peptidomimetics of formulas I, II, and III [wherein Z = NHOH or ORa; Ra = alkyl or a biocleavable moiety; X = CO or SO<sub>2</sub>; Y = (un)substituted heteroalkyl or heterocyclyl; R<sub>1</sub> = (un)substituted (cyclo)alkyl, aryl, heterocyclyl, or heteroalkyl; R<sub>2</sub>R<sub>3</sub> = 4-7 membered (un)substituted heterocycle; R<sub>2</sub>R<sub>4</sub> = ring formed through a CH<sub>2</sub>CH<sub>2</sub> linkage; or R<sub>2</sub> = Me; or R<sub>3</sub> = H or (un)substituted (hetero)alkyl, aryl, or heterocyclyl; or R<sub>4</sub> = H or (un)substituted (hetero)alkyl, aryl, or heterocyclyl; R<sub>5</sub> and R<sub>6</sub> = independently H, NO<sub>2</sub>, NH<sub>2</sub>, NHCOH, NHCOCH<sub>3</sub>, NHSO<sub>2</sub>CH<sub>3</sub>, or (un)substituted CH<sub>2</sub>NH-(hetero)alkyl or CH<sub>2</sub>NH-heterocyclyl; one of R<sub>7</sub> or R<sub>8</sub> = CHR<sub>10</sub>CONHOH; one of R<sub>7</sub> or R<sub>8</sub> = (un)substituted (hetero)alkyl, (alkyl)heterocyclyl, or alkylaryl; R<sub>9</sub> and R<sub>10</sub> = independently H or (un)substituted (hetero)alkyl, (alkyl)heterocyclyl, or alkylaryl] were prepared as peptide deformylase (Fe-PDF) inhibitors for treating various bacterial infections. For example, 3-pyrrolidinol was added to tert-Bu (R)-(2-pentyl)succinate mono(N-hydroxysuccinimide) ester to give the amide (68%). Treatment with 20% TFA/DCM, followed by MeOH, benzene, and TMSN<sub>2</sub> in hexanes, to afford the Me ester (90%). The pyrrolidinol was coupled with 4-methoxyphenylisocyanate and the ester converted to the hydroxamic acid (IV) using NH<sub>2</sub>OH•HCl. The latter inhibited E. coli Fe-PDF with IC<sub>50</sub> of 9 nM and showed selectivity for Fe-PDF vs. thermolysin with a selectivity index of 30,000. Thus, I, II, and III are useful as antibiotics against a broad range of infectious disease in animals and humans.

IT 409129-80-2P 409129-81-3P 409129-82-4P  
409129-83-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

10/923,271

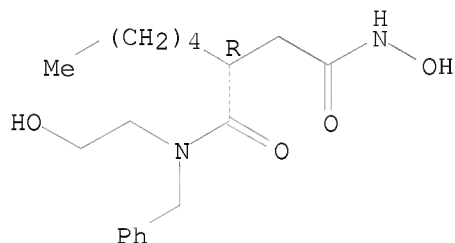
(Uses)

(peptide deformylase inhibitor; preparation of hydroxamic acid derivs. of peptides and peptidomimetics as peptide deformylase inhibitors for treatment of infectious diseases)

RN 409129-80-2 CAPLUS

CN Butanediamide, N4-hydroxy-N1-(2-hydroxyethyl)-2-pentyl-N1-(phenylmethyl)-, (2R)- (CA INDEX NAME)

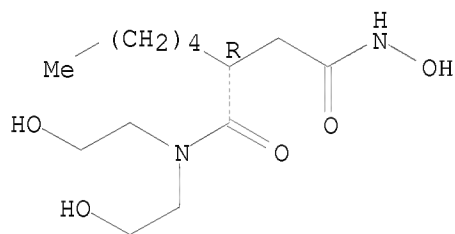
Absolute stereochemistry.



RN 409129-81-3 CAPLUS

CN Butanediamide, N4-hydroxy-N1,N1-bis(2-hydroxyethyl)-2-pentyl-, (2R)- (CA INDEX NAME)

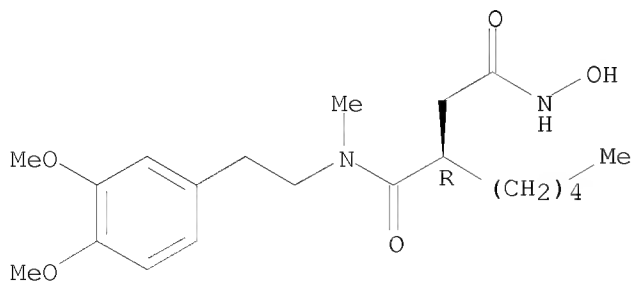
Absolute stereochemistry.



RN 409129-82-4 CAPLUS

CN Butanediamide, N1-[2-(3,4-dimethoxyphenyl)ethyl]-N4-hydroxy-N1-methyl-2-pentyl-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.

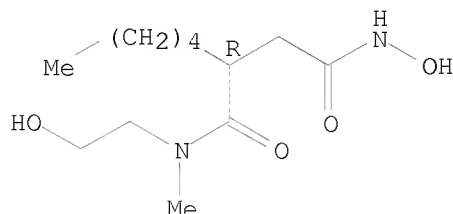


RN 409129-83-5 CAPLUS

10/923,271

CN Butanediamide, N4-hydroxy-N1-(2-hydroxyethyl)-N1-methyl-2-pentyl-, (2R)-  
(CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS  
RECORD (11 CITINGS)  
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:152517 CAPLUS

DOCUMENT NUMBER: 139:91098

TITLE: Transition metal complexes of two new  
imino-dihydroxamic acids. [Erratum to document cited  
in CA136:43578]

AUTHOR(S): Santos, M. Amelia; Grazina, Raquel; Pinto, Margarida;  
Farkas, Etelka

CORPORATE SOURCE: Centro de Quimica Estrutural, Instituto Superior  
Tecnico, Lisbon, 1049-001, Port.

SOURCE: Inorganica Chimica Acta (2002), 329, 155  
CODEN: ICHAA3; ISSN: 0020-1693

PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A revised version of Table 1 is given to correct 3 standard deviation values  
of consts.

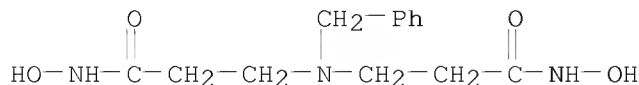
IT 380371-98-2D, transition metal complexes 380372-00-9D  
, transition metal complexes

RL: CPS (Chemical process); FMU (Formation, unclassified); PEP (Physical,  
engineering or chemical process); PRP (Properties); FORM (Formation,  
nonpreparative); PROC (Process)

(transition metal complexation with imino-dihydroxamic acids (Erratum))

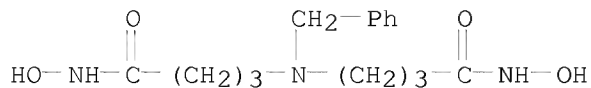
RN 380371-98-2 CAPLUS

CN Propanamide, 3,3'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX  
NAME)

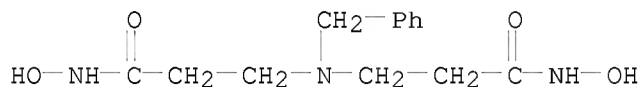


RN 380372-00-9 CAPLUS

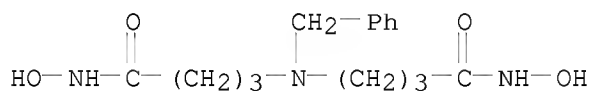
CN Butanamide, 4,4'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX  
NAME)



IT 380371-98-2P 380372-00-9P  
 RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)  
 (transition metal complexation with imino-dihydroxamic acids (Erratum))  
 RN 380371-98-2 CAPLUS  
 CN Propanamide, 3,3'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX NAME)]



RN 380372-00-9 CAPLUS  
 CN Butanamide, 4,4'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX NAME)]



L19 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:713343 CAPLUS

DOCUMENT NUMBER: 135:272894

TITLE: Preparation of  $\beta$ -amino acid derivatives as inhibitors of matrix metalloproteases and TNF- $\alpha$

INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 483 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070734	A2	20010927	WO 2001-US8336	20010315 <--
WO 2001070734	A3	20020314		
W:	AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,			

PT, SE, TR

CA 2400168	A1	20010927	CA 2001-2400168	20010315 <--
AU 2001050850	A	20011003	AU 2001-50850	20010315 <--
EP 1263756	A2	20021211	EP 2001-924171	20010315 <--
EP 1263756	B1	20040225		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
BR 2001009469	A	20030429	BR 2001-9469	20010315 <--
JP 2003528097	T	20030924	JP 2001-568935	20010315 <--
AT 260272	T	20040315	AT 2001-924171	20010315
NZ 521245	A	20040430	NZ 2001-521245	20010315
ES 2215893	T3	20041016	ES 2001-924171	20010315
US 20020013341	A1	20020131	US 2001-811116	20010316 <--
US 6495565	B2	20021217		
IN 2002MN01075	A	20050304	IN 2002-MN1075	20020808
HK 1049334	A1	20040716	HK 2003-101437	20030226
PRIORITY APPLN. INFO.:				
			US 2000-190183P	P 20000317
			US 2000-235467P	P 20000926
			US 2000-252062P	P 20001120
			WO 2001-US8336	W 20010315

# ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

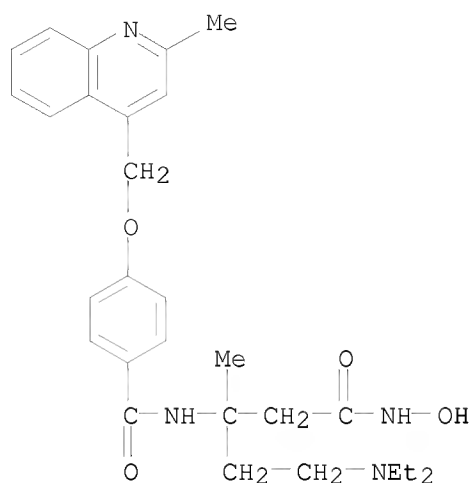
OTHER SOURCE(S): MARPAT 135:272894

AB Novel  $\beta$ -amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO<sub>2</sub>H, SH, CH<sub>2</sub>SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)<sub>2</sub>, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO<sub>2</sub>, O<sub>2</sub>C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF- $\alpha$  inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester. [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 362698-32-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of  $\beta$ -amino acid derivs. as inhibitors of matrix metalloproteases and TNF- $\alpha$ )

RN 362698-32-6 CAPLUS

CN Benzamide, N-[1-[2-(diethylamino)ethyl]-3-(hydroxyamino)-1-methyl-3-oxopropyl]-4-[(2-methyl-4-quinolinyl)methoxy]- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)  
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:713296 CAPLUS

DOCUMENT NUMBER: 135:272755

TITLE: Preparation of hydroxamic acids as inhibitors of histone deacetylase

INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii

PATENT ASSIGNEE(S): Methylgene, Inc., Can.

SOURCE: PCT Int. Appl., 241 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

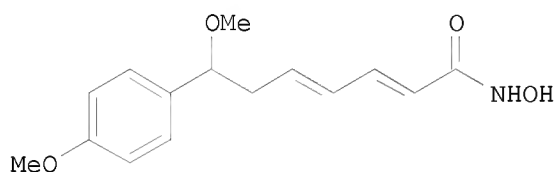
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070675	A2	20010927	WO 2001-IB683	20010326 <--
WO 2001070675	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2404002	A1	20010927	CA 2001-2404002	20010326 <--
US 20020115826	A1	20020822	US 2001-817374	20010326 <--
US 7288567	B2	20071030		
EP 1280764	A2	20030205	EP 2001-921735	20010326 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

10/923,271

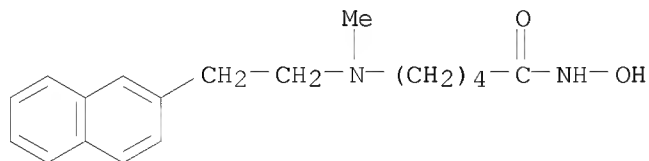
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
JP 2003528074 T 20030924 JP 2001-568887 20010326 <--  
EP 1524262 A1 20050420 EP 2005-75122 20010326  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY, TR  
US 20090181971 A1 20090716 US 2007-837696 20070813  
PRIORITY APPLN. INFO.: US 2000-192151P P 20000324  
EP 2001-921735 A3 20010326  
US 2001-817374 A3 20010326  
WO 2001-IB683 W 20010326  
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
OTHER SOURCE(S): MARPAT 135:272755  
GI



AB The title compds. CyXY1W [I; Cy = (un)substituted cycloalkyl, aryl, heterocyclyl; X = CO, CHOH, C:NOH, etc.; Y1 = (un)substituted alkylene, etc. (provided that Y1 does not comprise an ester or amide linkage in the linear chain connecting X and W); W = COCH2SR2, CONHOM, NHCONHZ, CONHZ (R2 = alkyl, aryl, aralkyl, acyl; M = H, cation; Z = hydroxyphenyl, pyridyl, thiazolyl, etc.)], useful for inhibiting histone deacetylase enzymic activity, and therefore for treating cell proliferative diseases and conditions, were prepared E.g., a multi-step synthesis of the title compound II which showed IC50 of 0.25 against recombinant human HDAC-1 enzyme, was given.

IT 362671-66-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of hydroxamic acids as inhibitors of histone deacetylase)

RN 362671-66-7 CAPLUS  
CN Pentanamide, N-hydroxy-5-[methyl[2-(2-naphthalenyl)ethyl]amino]- (CA INDEX NAME)



OS.CITING REF COUNT: 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

L19 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

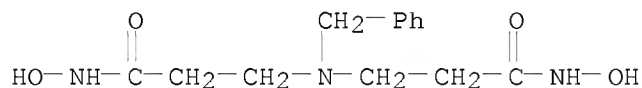
ACCESSION NUMBER: 2001:667576 CAPLUS  
 DOCUMENT NUMBER: 136:43578  
 TITLE: Transition metal complexes of two new imino-dihydroxamic acids  
 AUTHOR(S): Amelia Santos, M.; Grazina, R.; Pinto, M.; Farkas, E.  
 CORPORATE SOURCE: Centro de Quimica Estrutural, Instituto Superior Tecnico, Lisbon, 1049-001, Port.  
 SOURCE: Inorganica Chimica Acta (2001), 321(1,2), 42-48  
 CODEN: ICHAA3; ISSN: 0020-1693  
 PUBLISHER: Elsevier Science S.A.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Two new iminodihydroxamic acids [N-benzyl-imino-bis(propionohydroxamic acid) and N-benzyl-imino-bis(butyrohydroxamic acid)] were prepared and studied as specific binders for the transition M2+ ions due to their potential interest as inhibitors of metalloproteinases. Their architecture is based on aliphatic backbones, as spacers connecting two hydroxamate chelating units, with an N-benzyl group inserted in that skeleton to simulate the protein lipophilic subset. Herein, we first report the synthetic procedure that basically involves the formation of the corresponding intermediates with two nitrile groups, which were then converted to the CONHOH moieties. Then, the acid-base and the chelating properties of these ligands towards Cu2+, Ni2+ and Zn2+ ions, studied by potentiometric and spectrophotometric techniques, are described. Both the ligands form quite stable complexes with these metal ions, presenting a preferential M2+ coordination to the hydroxamate over the amine groups, according to the order Zn2+ ≥ Ni2+ > Cu2+.

IT 380371-98-2D, transition metal complexes 380372-00-9D  
 , transition metal complexes  
 RL: CPS (Chemical process); FMU (Formation, unclassified); PEP (Physical, engineering or chemical process); PRP (Properties); FORM (Formation, nonpreparative); PROC (Process)  
 (transition metal complexation with imino-dihydroxamic acids)

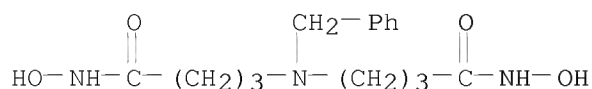
RN 380371-98-2 CAPLUS

CN Propanamide, 3,3'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX NAME)



RN 380372-00-9 CAPLUS

CN Butanamide, 4,4'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX NAME)



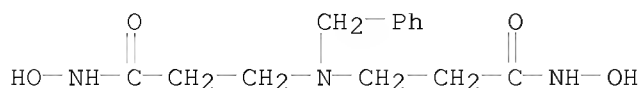
IT 380371-98-2P 380372-00-9P

RL: CPS (Chemical process); PEP (Physical, engineering or chemical

process); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);  
 PROC (Process); RACT (Reactant or reagent)  
 (transition metal complexation with imino-dihydroxamic acids)

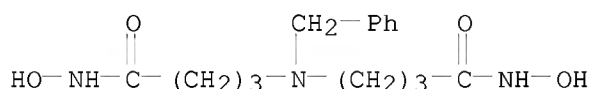
RN 380371-98-2 CAPLUS

CN Propanamide, 3,3'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX  
 NAME)



RN 380372-00-9 CAPLUS

CN Butanamide, 4,4'-[(phenylmethyl)imino]bis[N-hydroxy- (9CI) (CA INDEX  
 NAME)



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:453016 CAPLUS

DOCUMENT NUMBER: 135:61071

TITLE: Preparation of hydroxamic acid derivatives as matrix  
 metalloproteinase (MMP) inhibitors

INVENTOR(S): Owen, David Alan; Baxter, Andrew Douglas; Watson,  
 Robert John; Montana, John Gary

PATENT ASSIGNEE(S): Darwin Discovery Ltd., UK

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044188	A1	20010621	WO 2000-GB4861	20001218 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001022017	A	20010625	AU 2001-22017	20001218 <--
EP 1237867	A1	20020911	EP 2000-985609	20001218 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

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IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
US 6462042 B1 20021008 US 2001-806266 20010328 <--  
PRIORITY APPLN. INFO.: GB 1999-29979 A 19991217  
WO 2000-GB4861 W 20001218

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

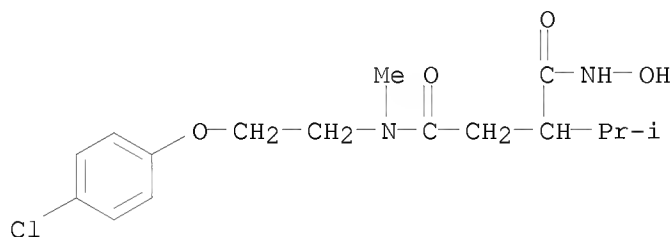
OTHER SOURCE(S): MARPAT 135:61071

AB The title compds. B1NB2COCH2CR1R2CONHOH [I; R1 = alkyl, alkenyl, aryl, etc.; R2 = H, alkyl; CR1R2 = (un)substituted cycloalkyl, heterocycloalkyl; B1, B2 = H, alkyl, aryl, etc.] having therapeutic utility, were prepared E.g., a multi-step synthesis of (2S)-I [R1 = iso-Pr; R2 = H; B1 = Me; B2 = 4-(morpholin-4-yl)phenyl] was given. Compds. I are effective in treating inflammation at 0.01-50 mg/kg/day.

IT 345633-03-6P 345633-08-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of hydroxamic acid derivs. as matrix metalloproteinase (MMP) inhibitors)

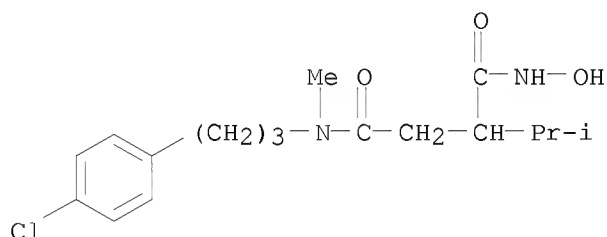
RN 345633-03-6 CAPLUS

CN Butanediamide, N4-[2-(4-chlorophenoxy)ethyl]-N1-hydroxy-N4-methyl-2-(1-methylethyl)- (CA INDEX NAME)



RN 345633-08-1 CAPLUS

CN Butanediamide, N4-[3-(4-chlorophenyl)propyl]-N1-hydroxy-N4-methyl-2-(1-methylethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:441768 CAPLUS

DOCUMENT NUMBER: 133:74324

10/923,271

TITLE: Preparation of amino acid sulfonamide hydroxamates as inhibitors of procollagen C-proteinase.  
INVENTOR(S): Billedeau, Roland Joseph; Broka, Chris Allen; Campbell, Jeffrey Allen; Chen, Jian Jeffrey; Dankwardt, Sharon Marie; Delaet, Nancy; Robinson, Leslie Ann; Walker, Keith Adrian Murray  
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.  
SOURCE: PCT Int. Appl., 133 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000037436	A1	20000629	WO 1999-EP9920	19991214 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2355902	A1	20000629	CA 1999-2355902	19991214 <--
BR 9916504	A	20010911	BR 1999-16504	19991214 <--
EP 1149072	A1	20011031	EP 1999-963530	19991214 <--
EP 1149072	B1	20040630		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 2001001868	T2	20011121	TR 2001-1868	19991214 <--
HU 2001004658	A2	20020629	HU 2001-4658	19991214 <--
HU 2001004658	A3	20051228		
JP 2002533322	T	20021008	JP 2000-589508	19991214 <--
AU 769319	B2	20040122	AU 2000-19792	19991214
NZ 512292	A	20040326	NZ 1999-512292	19991214
AT 270271	T	20040715	AT 1999-963530	19991214
RU 2232751	C2	20040720	RU 2001-119461	19991214
US 6492394	B1	20021210	US 1999-469660	19991222 <--
HR 2001000443	A2	20020630	HR 2001-443	20010614 <--
ZA 2001005014	A	20020919	ZA 2001-5014	20010619 <--
MX 2001006328	A	20010910	MX 2001-6328	20010620 <--
NO 2001003100	A	20010821	NO 2001-3100	20010621 <--
US 20030199520	A1	20031023	US 2002-267292	20021009 <--
US 6844366	B2	20050118		
US 20030216405	A1	20031120	US 2002-267727	20021009 <--
US 6787559	B2	20040907		
PRIORITY APPLN. INFO.:			US 1998-113311P	P 19981222
			US 1999-147053P	P 19990803
			US 1999-164138P	P 19991108
			WO 1999-EP9920	W 19991214
			US 1999-469660	A3 19991222
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		MARPAT 133:74324		
AB HOHNCOCHR1NRSO2Ar2 [R1 = alkyl, haloalkyl, heteroalkyl, cycloalkyl, aryl,				

aralkyl, aralkenyl, heteroaryl, heteroaralkyl, aminl, aryl, aralkyl, etc.;  
 R = CHR<sub>2</sub>Ar<sub>1</sub>, CHR<sub>2</sub>CH:CHAr<sub>1</sub>; Ar<sub>2</sub> = specified (substituted) Ph, naphthyl; R<sub>2</sub>  
 = H, alkyl; with provisos], were prepared Thus,  
 N-hydroxy-2(R)-[(3,4-methylenedioxybenzyl)(4-methoxy-2,3,6-  
 trimethylbenzenesulfonyl)amino]-3-methylbutyramide was prepared by solution  
 phase synthesis from BOC-D-Val-OH. Title compds. inhibited procollagen  
 C-proteinase with IC<sub>50</sub> 0.01-2 μM.

IT 279255-20-8P 279255-52-6P

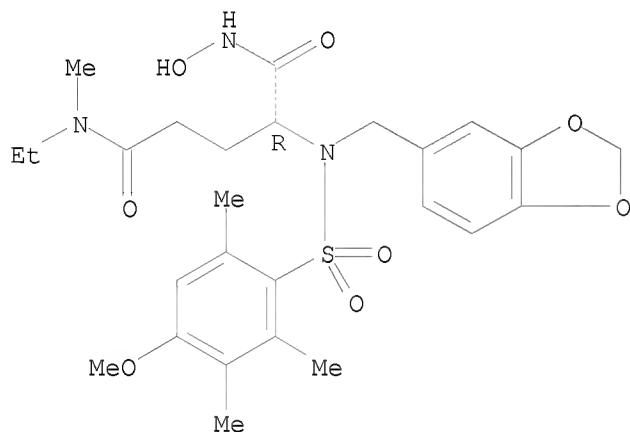
RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino acid sulfonamide hydroxamates as inhibitors of  
 procollagen C-proteinase)

RN 279255-20-8 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-methoxy-2,3,6-  
 trimethylphenyl)sulfonyl]amino]-N5-ethyl-N1-hydroxy-N5-methyl-, (2R)- (CA  
 INDEX NAME)

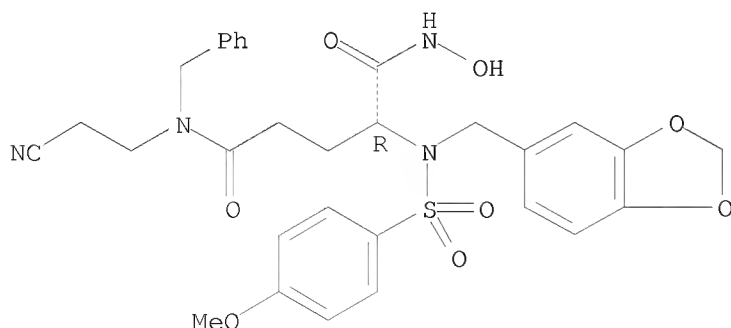
Absolute stereochemistry.



RN 279255-52-6 CAPLUS

CN Pentanediamide, 2-[(1,3-benzodioxol-5-ylmethyl)[(4-  
 methoxyphenyl)sulfonyl]amino]-N5-(2-cyanoethyl)-N1-hydroxy-N5-  
 (phenylmethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



10/923,271

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS  
RECORD (10 CITINGS)  
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 119 11-27 ibib abs hitstr

THE ESTIMATED COST FOR THIS REQUEST IS 98.77 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

L19 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:498627 CAPLUS

DOCUMENT NUMBER: 129:175972

ORIGINAL REFERENCE NO.: 129:35769a, 35772a

TITLE: Preparation of phenylsulfonamides as matrix  
metalloproteinase inhibitors for treatment of diseases

INVENTOR(S): Takahashi, Kanji; Sugiura, Tsuneyuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.

CODEN: JKXXAF

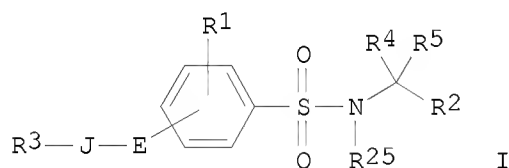
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 10204054	A	19980804	JP 1997-20880	19970121 <--
PRIORITY APPLN. INFO.:			JP 1997-20880	19970121
OTHER SOURCE(S):	MARPAT	129:175972		
GI				



AB Phenylsulfonamides I [R1 = H, C1-4 alkyl; R2 = CO2R6, CONHOR7; R6, R7 = H, (un)substituted alkyl, Ph; R3 = OR11, (un)substituted amino, CO2R14, etc.; R11 = H, (un)substituted C1-4 alkyl, C2-4 acyl, etc; R14 = H, (un)substituted C1-4 alkyl, Ph; R4, R5 = H, (un)substituted C1-8 alky, (un)substituted amino, (hetero)cycllyl, etc.; E = CH:CH, C.tplbond.C; J = bond, C1-8 alkylene; R25 = H, (Ph-substituted) C1-4 alkyl, (Ph-substituted) alkoxy carbonyl] or their nontoxic salts are prepared The phenylsulfonamides are useful for treatment of rheumatoid arthritis, bone diseases, arteriosclerosis, tumor, autoimmune diseases, etc., caused by excess secretion or elevated activity of matrix metalloproteinase. Hydrolysis of N-[4-(4-hydroxy-1-butynyl)phenylsulfonyl]-D-tryptophan Me ester with aqueous NaOH gave 29% N-[4-(4-hydroxy-1-butynyl)phenylsulfonyl]-D-tryptophan, which inhibited gelatinase A activity at IC50 of 0.0079  $\mu$ M.

IT 211383-80-1P

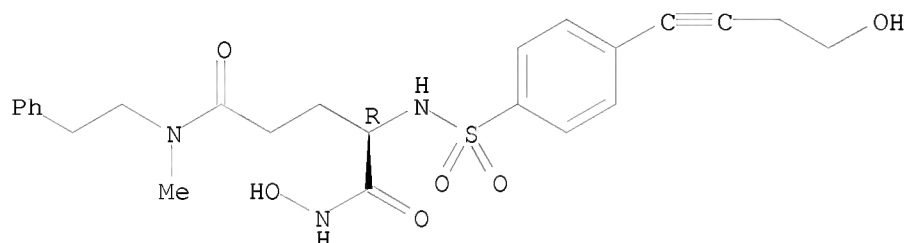
10/923,271

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of phenylsulfonamides as matrix metalloproteinase inhibitors for treatment of diseases)

RN 211383-80-1 CAPLUS

CN Pentanediamide, N1-hydroxy-2-[[[4-(4-hydroxy-1-butyn-1-yl)phenyl]sulfonyl]amino]-N5-methyl-N5-(2-phenylethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L19 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:805715 CAPLUS

DOCUMENT NUMBER: 128:61793

ORIGINAL REFERENCE NO.: 128:12110h,12111a

TITLE: Preparation of N-(phenylsulfonyl)amino acid derivatives as matrix metalloproteinase inhibitors

INVENTOR(S): Takahashi, Kanji; Sugiura, Tsuneyuki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 194 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

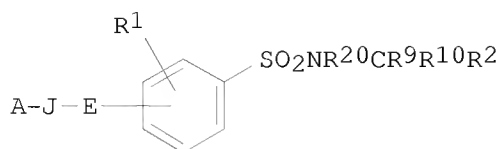
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

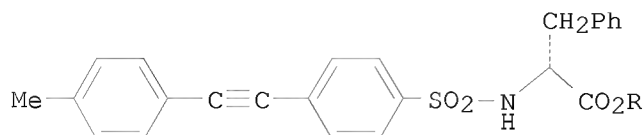
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745402	A1	19971204	WO 1997-JP1735	19970523 <--
W: AU, CA, CN, HU, KR, MX, NO, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9727920	A	19980105	AU 1997-27920	19970523 <--
JP 10265452	A	19981006	JP 1997-148448	19970523 <--
EP 915086	A1	19990512	EP 1997-922148	19970523 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
PRIORITY APPLN. INFO.:			JP 1996-151864	A 19960524
			JP 1997-20879	A 19970121
			WO 1997-JP1735	W 19970523

OTHER SOURCE(S): MARPAT 128:61793

GI



I



II

AB Phenylsulfonylamide derivs. represented by general formula (I; R1 = hydrogen or alkyl; R2 = CO2R3 or CONHOR4; wherein R3 = H, C1-8 alkyl, Ph, substituted C1-4 alkyl; R4 = H, C1-8 alkyl, Ph, phenyl-C1-4 alkyl; E = CH:CH, C.tplbond.C; A = hydrogen, alkyl, (un)substituted carbocycle or heterocycle; J = single bond or alkylene; R9, R10 = each hydrogen, (substituted) alkyl, COR11, carbocycle, heterocycle, etc.; R11 = OH, C1-8 alkyl, C1-8 alkoxy, PhO, phenyl-C1-4 alkyl, (un)substituted NH2; R20 = hydrogen, (substituted) C1-4 alkyl, C1-8 alkoxy, phenyl-C1-4 alkoxy, substituted C1-8 alkyl; or NR20CR9 = 5- to 7-membered heterocyclic ring containing 1 N atom) and salts thereof are prepared Also claimed are processes for producing the same; a matrix metalloproteinase inhibitor containing the same; and medicines containing the same and serving as preventives and/or remedies for rheumatism, osteoarthritis, pathol. bone resorption, osteoporosis, periodontosis, interstitial nephritis, arteriosclerosis, pulmonary emphysema, hepatocirrhosis, corneal injury, diseases due to cancer cell metastasis, infiltration and proliferation, autoimmune diseases (such as Crohn's disease and Sjogren's disease), diseases due to leukocyte emigration or infiltration, and neovascularization. Thus, 4-bromobenzenesulfonyl chloride was added to a solution of tert-Bu D-phenylalaninate in pyridine under ice-cooling and the resulting mixture was stirred at room temperature for 1 h to give tert-Bu N-(4-bromophenylsulfonyl)-D-phenylalaninate. A mixture of the latter compound, 10% Pd-C, Ph3P, CuI, MeCN, and Et3N was refluxed for 3 h to give tert-Bu D-phenylalaninate derivative (II; R = tert-butyl) which was stirred at room temperature for 1 h to give II (R = H). A tablet and an ampule

formulation

containing II (R = H) were prepared

IT 200294-53-7P

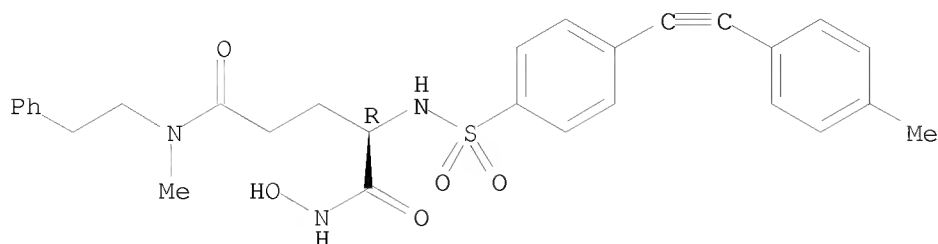
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(phenylsulfonyl)amino acid derivs. as matrix metalloproteinase inhibitors for disease treatment)

RN 200294-53-7 CAPLUS

CN Pentanediamide, N1-hydroxy-N5-methyl-2-[[[4-[2-(4-methylphenyl)ethynyl]phenyl]sulfonyl]amino]-N5-(2-phenylethyl)-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS  
RECORD (24 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1995:506187 CAPLUS

DOCUMENT NUMBER: 122:242807

ORIGINAL REFERENCE NO.: 122:44327a, 44330a

TITLE: Preparation of

3-[bis(carboxymethyl)amino]-N-hydroxypropionamides and  
salts and their use as sequestering agents

INVENTOR(S): Greindl, Thomas; Kud, Alexander; Schwendemann, Volker;  
Kneip, Michael; Kappes, Elisabeth; Baur, Richard;  
Schneider, Juergen; Potthoff-karl, Birgit; Oftring,  
Alfred

PATENT ASSIGNEE(S): BASF A.-G., Germany

SOURCE: Ger. Offen., 10 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4313137	A1	19941027	DE 1993-4313137	19930422 <--
WO 9424096	A1	19941027	WO 1994-EP1166	19940415 <--
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 695289	A1	19960207	EP 1994-916159	19940415 <--
EP 695289	B1	19980701		
R: DE, FR, GB, IT, NL				
JP 08508746	T	19960917	JP 1994-522749	19940415 <--
US 5733342	A	19980331	US 1995-532569	19951019 <--
PRIORITY APPLN. INFO.:			DE 1993-4313137	A 19930422
			WO 1994-EP1166	W 19940415

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 122:242807

AB Sequestering agents (XO<sub>2</sub>CCH<sub>2</sub>)<sub>2</sub>NCHR<sub>1</sub>CHR<sub>2</sub>CONHOY (R<sub>1</sub>-2 = H, Me, Et; X, Y = H, alkali metal, ammonium) are prepared for use as detergent builders and bleach stabilizers. Iminodiacetic acid, Me acrylate, H<sub>2</sub>NOH, and NaOH were used in the preparation of (HO<sub>2</sub>CCH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH mono-Na salt which was used (5 parts) with 30 parts zeolite A in a laundry detergent composition which

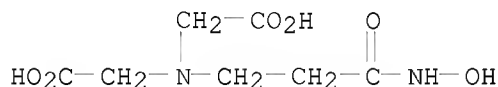
10/923,271

inhibited incrustations in fabrics during repeated laundering.

IT 162459-81-6P 162459-83-8P  
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); TEM  
(Technical or engineered material use); PREP (Preparation); USES (Uses)  
(sequestering agents; preparation and use as detergent builders and bleach  
stabilizers)

RN 162459-81-6 CAPLUS

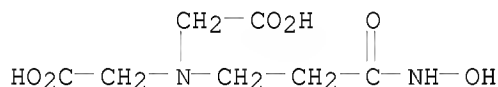
CN Glycine, N-(carboxymethyl)-N-[3-(hydroxyamino)-3-oxopropyl]-, monosodium  
salt (9CI) (CA INDEX NAME)



● Na

RN 162459-83-8 CAPLUS

CN Glycine, N-(carboxymethyl)-N-[3-(hydroxyamino)-3-oxopropyl]-, disodium  
salt (9CI) (CA INDEX NAME)



●2 Na

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L19 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:700765 CAPLUS

DOCUMENT NUMBER: 121:300765

ORIGINAL REFERENCE NO.: 121:55057a,55060a

TITLE: Preparation of oxoheterocycllyl-substituted hydroxamic  
acid derivatives as collagenase inhibitors

INVENTOR(S): Broadhurst, Michael John; Brown, Paul Anthony;  
Johnson, William Henry; Lawton, Geoffrey

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: Eur. Pat. Appl., 27 pp.  
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

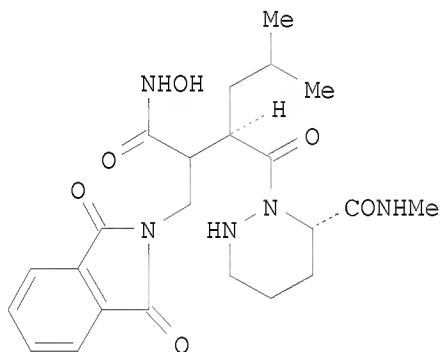
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 574758	A1	19931222	EP 1993-108628	19930528 <--

EP 574758	B1	19980909		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5318964	A	19940607	US 1993-66832	19930524 <--
AU 9339816	A	19931216	AU 1993-39816	19930526 <--
AU 659555	B2	19950518		
AT 170840	T	19980915	AT 1993-108628	19930528 <--
ES 2121896	T3	19981216	ES 1993-108628	19930528 <--
ZA 9303957	A	19931213	ZA 1993-3957	19930604 <--
RO 112613	B3	19971128	RO 1993-777	19930604 <--
CZ 283373	B6	19980415	CZ 1993-1081	19930604 <--
IL 105921	A	19980104	IL 1993-105921	19930607 <--
CA 2098168	A1	19931212	CA 1993-2098168	19930610 <--
NO 9302117	A	19931213	NO 1993-2117	19930610 <--
CN 1083062	A	19940302	CN 1993-107239	19930610 <--
CN 1035616	C	19970813		
JP 06065196	A	19940308	JP 1993-165228	19930610 <--
JP 07076210	B	19950816		
FI 109535	B1	20020830	FI 1993-2692	19930611 <--
US 5447929	A	19950905	US 1994-214895	19940317 <--
PRIORITY APPLN. INFO.:			GB 1992-12421	A 19920611
			GB 1993-5720	A 19930319
			US 1993-66832	A3 19930524

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 121:300765  
 GI



AB R1(CH<sub>2</sub>)<sub>n</sub>CH(CONHOH)CH(CONR<sub>2</sub>R<sub>3</sub>)CHR<sub>4</sub>CR<sub>5</sub>R<sub>6</sub>CH<sub>2</sub>R<sub>7</sub> (R<sub>1</sub> = N-attached oxoheterocyclyl; R<sub>2</sub> = alkyl; R<sub>3</sub> = alkyl or aryl; NR<sub>2</sub>R<sub>3</sub> = heterocyclyl; R<sub>4</sub>-R<sub>7</sub> = H or Me; n = 1-4) were prepared. Thus, (2R)-[(1R,S)-tert-butoxycarbonyl-2-phthalimidoethyl]-4-methylvaleric acid was amidated by 1-benzoyloxycarbamoyl-(3S)-hexahydropyridazinecarboxylic acid and the product converted in 3 steps to title compound (R,S)-I which had IC<sub>50</sub> of 1.2 nM against collagenase in vitro.

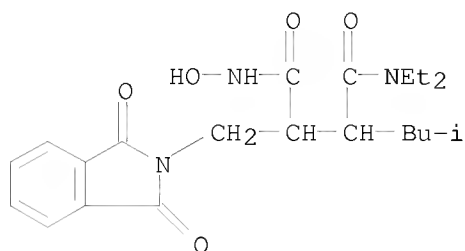
IT 159135-28-1P 159135-30-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as collagenase inhibitor)

RN 159135-28-1 CAPLUS

CN Hexanamide, 1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N,N-diethyl-N'-

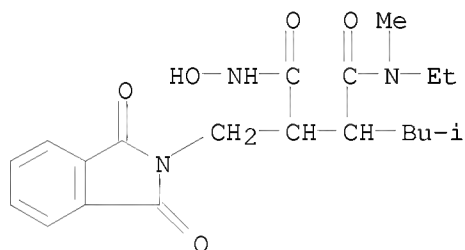
10/923,271

hydroxy-5-methyl- (CA INDEX NAME)



RN 159135-30-5 CAPLUS

CN Hexanamide, 1-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-N-ethyl-N'-hydroxy-N,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 30 THERE ARE 30 CAPLUS RECORDS THAT CITE THIS RECORD (38 CITINGS)

L19 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:578813 CAPLUS

DOCUMENT NUMBER: 121:178813

ORIGINAL REFERENCE NO.: 121:32467a,32470a

TITLE: Convenient method for the preparation of some polyhydroxamic acids: Michael addition of amines to acrylohydroxamic acid derivatives

AUTHOR(S): Koshti, Nirmal M.; Jacobs, Hollie K.; Martin, Patrick A.; Smith, Paul H.; Gopalan, Aravamudan S.

CORPORATE SOURCE: Dep. Chem. and Biochem., New Mexico State Univ., Las Cruces, NM, 88003-8001, USA

SOURCE: Tetrahedron Letters (1994), 35(29), 5157-60

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

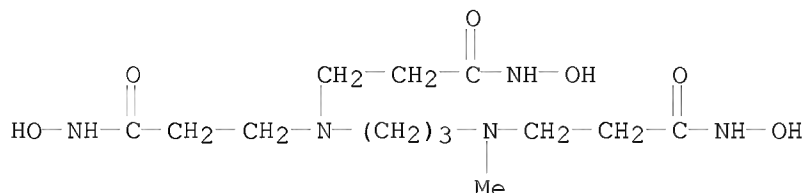
LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:178813

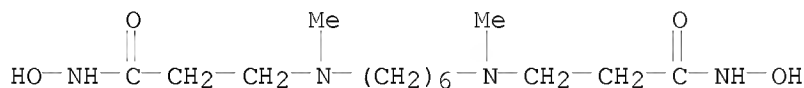
AB Reagents CH<sub>2</sub>:CHCONR<sub>1</sub>OR<sub>2</sub> (R<sub>1</sub> = H, R<sub>2</sub> = PhCH<sub>2</sub>; R<sub>1</sub> = Me, R<sub>2</sub> = SiMe<sub>2</sub>CMe<sub>3</sub>, SiPh<sub>2</sub>CMe<sub>3</sub>) are readily prepared by the reaction of the appropriate hydroxylamine derivs. with acryloyl chloride. The reagents undergo Michael addition with a variety of amines to give the corresponding O-protected hydroxamate derivs. in moderate to good yields. Subsequent removal of the protecting group provides a convenient method for the preparation of a number of mono-, di-, tri- and tetrahydroxamic acids.

10/923,271

IT 157614-62-5P 157614-64-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 157614-62-5 CAPLUS  
CN Propanamide, 3,3'-[[3-[[3-(hydroxyamino)-3-oxopropyl]methylamino]propyl]imino]bis[N-hydroxy- (9CI) (CA INDEX NAME)



RN 157614-64-7 CAPLUS  
CN Propanamide, 3,3'-[1,6-hexanediylbis(methylimino)]bis[N-hydroxy- (9CI)  
(CA INDEX NAME)



OS.CITING REF COUNT: 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS  
RECORD (14 CITINGS)

L19 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:420632 CAPLUS

DOCUMENT NUMBER: 121:20632

ORIGINAL REFERENCE NO.: 121:3711a,3714a

TITLE: Minimization and remediation of DOE nuclear waste problems using high selectivity actinide chelators  
Gopalan, A.; Zincircioglu, O.; Smith, P.

CORPORATE SOURCE: Dep. Chem., New Mexico State Univ., Las Cruces, NM, 88003, USA

SOURCE: Radioactive Waste Management and the Nuclear Fuel Cycle (1993), 17(3-4), 161-75  
CODEN: RWMCD4; ISSN: 0739-5876

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The goal of this research program is to design, develop, and synthesize organic chelators for selective binding of actinide ions from soils and waste streams. A thorough assessment has been made of available chelators/ligands known or suspected to have high affinities and selectivities for actinides. Based upon the authors' studies in addition to the well studied catecholates, multidentate oxoligands such as hydroxamate, iminodiacetate, and hydroxypyridinones show promise for binding relatively hard actinide ions present in acidic, aqueous process solns. Some specific model chelating structures for plutonium ion binding have been identified for synthesis and study of their binding abilities. In these mols., the ligand groups are preorganized around a template/spacer group, to coordinate the target metal ion specifically.

Chelators that have been synthesized contain either a flexible acyclic structural backbone or a rigid benzene spacer to which the ligands are appended. Also, methods for the preparation of some model hexadentate and octadentate hydroxamate chelators and a novel chelator containing three iminodiacetic acid ligands are described. Results of some preliminary binding studies on the synthesized chelators are discussed. Desferrioxamine-B, a known hydroxamic acid siderophore, has been used a model to develop procedures for evaluating the binding abilities of synthetic chelators.

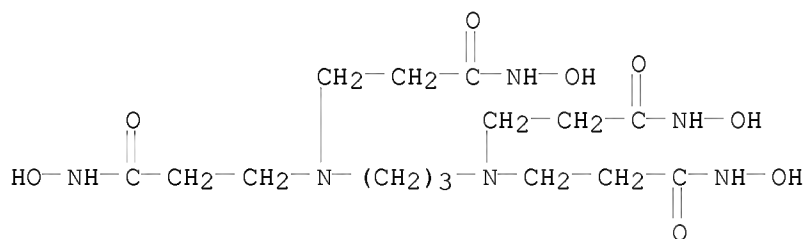
IT 155819-25-3

RL: PROC (Process)

(chelating agent, for actinide removal from contaminated soils and radioactive wastewaters)

RN 155819-25-3 CAPLUS

CN Propanamide, 3,3',3'',3'''-(1,3-propanedinitrilo)tetrakis[N-hydroxy-, tetrapotassium salt (9CI) (CA INDEX NAME)



● 4 K

OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L19 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:307465 CAPLUS

DOCUMENT NUMBER: 120:307465

ORIGINAL REFERENCE NO.: 120:53941a,53944a

TITLE: Hydroxamic acid-based bifunctional chelating compounds

INVENTOR(S): Safavy, Ahmad; Buchsbaum, Donald J.; Khazaeli, M. B.

PATENT ASSIGNEE(S): UAB Research Foundation, USA

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9405627	A1	19940317	WO 1993-US8401	19930907 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, VN				

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,  
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

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US 5756825      A      19980526      US 1993-48869      19930416 <--
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AU 9348501      A      19940329      AU 1993-48501      19930907 <--
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PRIORITY APPLN. INFO.:

US 1992-941986                      A 19920908

US 1993-48869                      A 19930416

WO 1993-US8401                      W 19930907

## ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present disclosure details the preparation of hydroxamic acid-based bifunctional chelators and their use in conjugating metal ions to proteins and nucleic acids for tumor or tissue imaging or therapy purposes. Some preferred aspects of the disclosure involve the preparation of trisuccin, particularly useful for binding radionuclides such as <sup>99</sup>Tc, <sup>186</sup>Re, and <sup>67</sup>Cu. Thus, trisuccin-monoclonal antibody CC49 conjugate was prepared using dicyclohexylcarbodiimide and labeled with <sup>99m</sup>Tc. The radiolabeled conjugate was administered to human colon cancer cell line-bearing mice and the tumor localization and tissue biodistribution of the antibodies were determined.

IT 155109-50-5

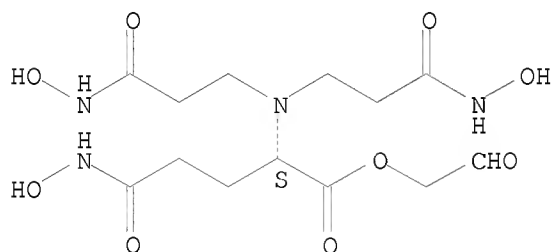
RL: BIOL (Biological study)

(as bifunctional chelator for conjugation of metal ions to proteins)

RN 155109-50-5 CAPLUS

CN L-Glutamine, N2,N2-bis[3-(hydroxyamino)-3-oxopropyl]-N-hydroxy-,  
2-oxoethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:211240 CAPLUS

DOCUMENT NUMBER: 120:211240

ORIGINAL REFERENCE NO.: 120:37301a, 37304a

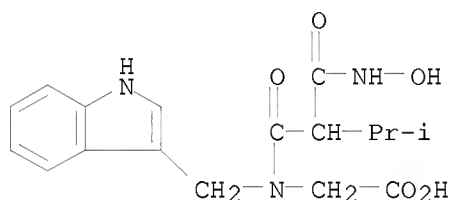
TITLE: Design and synthesis of new peptidase inhibitors based  
on an endogenous ACE inhibitor Val-Trp

AUTHOR(S): Ueki, Masaaki; Katoh, Tsuyoshi; Shimizu, Tatsuto;  
Komiya, Satoko; Tobe, Masanori; Mizuno, Mamoru; Yuasa,  
Ritsuko; Watanabe, Ayako; Hazato, Tadahiko

CORPORATE SOURCE: Dep. Appl. Chem., Sci. Univ. Tokyo, Tokyo, 162, Japan

SOURCE: Pept. Chem. 1992, Proc. Jpn. Symp., 2nd (1993\*\*\*)  
, Meeting Date 1992, 538-40. Editor(s): Yanaihara,  
Noboru. ESCOM: Leiden, Neth.

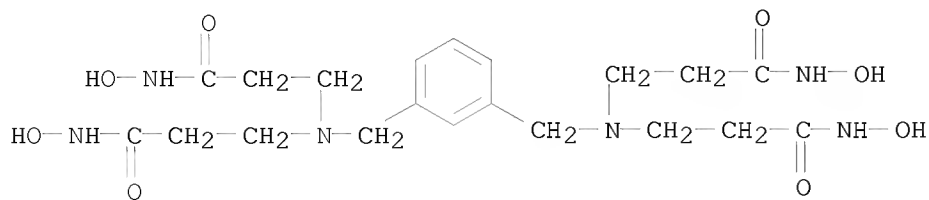
CODEN: 59NTAC  
 DOCUMENT TYPE: Conference  
 LANGUAGE: English  
 AB Using the naturally occurring angiotensin-converting enzyme (ACE) inhibitor, Val-Trp, as a lead compd., and adding a hydroxamate group which is a key Zn-interacting structure in kelatorphin, an inhibitor of enkephalin-degrading enzymes, a synthetic ACE and enkephalinase A and B inhibitor, SUT-9014, was designed, prepd., and tested. Using SUT-9014 [N-(RS)-[2-(hydroxyaminocarbonyl)-3-methyl-1-oxobutyl]-L-tryptophan] as a 2nd lead compd., 12 analogs were synthesized and structure-activity relations were studied. The results indicated that the amino H atom of tryptophan is necessary for enzyme recognition by the H-bond and that the structure of the P2' site appeared to be more important in ACE.  
 IT \*\*\*153980-96-2, SUT 9132  
 RL: BIOL (Biological study)  
 (angiotensin-converting enzyme and enkephalinases A and B inhibition by, structure in relation to)  
 RN 153980-96-2 CAPLUS  
 CN Glycine, N-[2-[(hydroxyamino)carbonyl]-3-methyl-1-oxobutyl]-N-(1H-indol-3-ylmethyl)- (CA INDEX NAME)



L19 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 1993:21976 CAPLUS  
 DOCUMENT NUMBER: 118:21976  
 ORIGINAL REFERENCE NO.: 118:4129a,4132a  
 TITLE: Novel tetrahydroxamate chelators for actinide complexation: synthesis and binding studies  
 AUTHOR(S): Gopalan, Aravamudan S.; Huber, Vincent J.; Zincircioglu, Orhan; Smith, Paul H.  
 CORPORATE SOURCE: Dep. Chem., New Mexico State Univ., Las Cruces, NM, 88003-0001, USA  
 SOURCE: Journal of the Chemical Society, Chemical Communications (1992), (17), 1266-8  
 CODEN: JCCCAT; ISSN: 0022-4936  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The chelators, e.g., 1,3-C6H4[CH2N(CH2CH2CONHOH)2]2, members of a new class of tetrahydroxamate chelators, are readily synthesized and are shown by potentiometric studies to have high affinities for thorium(IV), iron(III) and neodymium(III).  
 IT 145060-17-9P 145060-18-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, protonation consts. and formation consts. of, for metal chelation)  
 RN 145060-17-9 CAPLUS

10/923,271

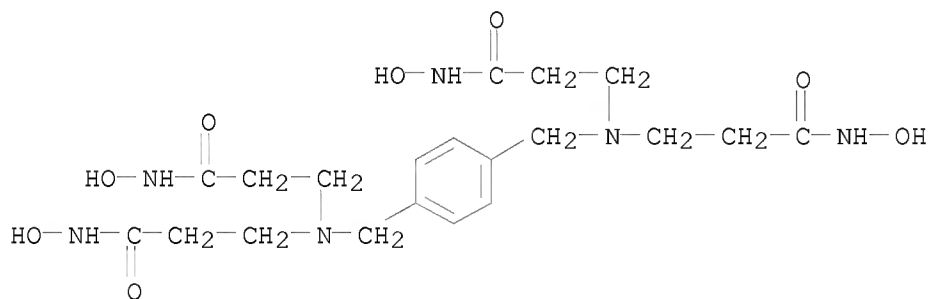
CN Propanamide, 3,3',3'',3'''-[1,3-phenylenebis(methylenenitrilo)]tetrakis[N-hydroxy-, tripotassium salt (9CI) (CA INDEX NAME)



● 3 K

RN 145060-18-0 CAPLUS

CN Propanamide, 3,3',3'',3'''-[1,4-phenylenebis(methylenenitrilo)]tetrakis[N-hydroxy-, tripotassium salt (9CI) (CA INDEX NAME)



● 3 K

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L19 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:407618 CAPLUS

DOCUMENT NUMBER: 117:7618

ORIGINAL REFERENCE NO.: 117:1539a,1542a

TITLE: General method for the synthesis of trishydroxamic acids

AUTHOR(S): Karunaratne, V.; Hoveyda, H. R.; Orvig, C.

CORPORATE SOURCE: Dep. Chem., Univ. British Columbia, Vancouver, BC, V6T 1Z1, Can.

SOURCE: Tetrahedron Letters (1992), 33(14), 1827-30

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:7618

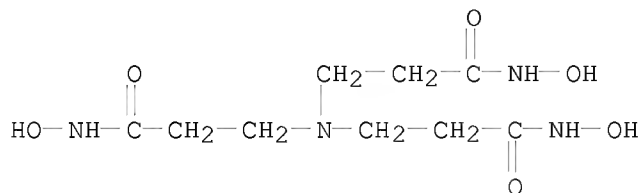
10/923,271

AB Triscarboxylic acids, when treated with hydroxylamines in the presence of water-soluble carbodiimide in THF-H<sub>2</sub>O, at pH .apprx. 4.8, yield the corresponding trishydroxamic acids in good yields.

IT 69778-14-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 69778-14-9 CAPLUS

CN Propanamide, 3,3',3''-nitrilotris[N-hydroxy- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L19 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:531205 CAPLUS

DOCUMENT NUMBER: 101:131205

ORIGINAL REFERENCE NO.: 101:19977a,19980a

TITLE: Role of complex formation during polycondensation of activated N-hydroxysuccinimide esters with diamines

AUTHOR(S): Katsarava, R. D.; Kharadze, D. P.; Avalishvili, L. M.; Zaalishvili, M. M.

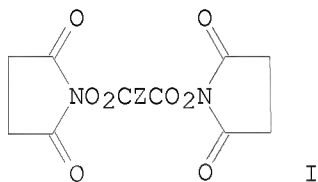
CORPORATE SOURCE: Inst. Fiziol., Tbilisi, USSR

SOURCE: Vysokomolekulyarnye Soedineniya, Seriya A (1984), 26(7), 1537-43  
CODEN: VYSAAF; ISSN: 0507-5475

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI



AB During polycondensation of diamines with the title esters (I, Z = alkylene, arylene), the N-hydroxysuccinimide (II) [6066-82-6] byproduct formed complexes with the diamines. During polycondensation of weakly reactive I (Z = arylene) with aliphatic diamines at moderate temps., the complexation retarded polycondensation and prevented formation of high-mol.-weight polyamides. The polymerization rate increased sharply at higher temperature; however, side reactions also intensified. During reaction of

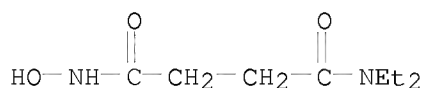
10/923,271

highly reactive I (Z = alkylene), complexation had little influence on the polymerization

IT 91990-28-2P  
RL: PREP (Preparation)  
(formation and properties of, polycondensation of diamines with hydroxysuccinimide diesters in relation to)

RN 91990-28-2 CAPLUS

CN Butanediamide, N1,N1-diethyl-N4-hydroxy- (CA INDEX NAME)



L19 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1983:18481 CAPLUS

DOCUMENT NUMBER: 98:18481

ORIGINAL REFERENCE NO.: 98:2973a,2976a

TITLE: Hydroxamic acid amphoteric surfactants

PATENT ASSIGNEE(S): Lion Corp., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 3 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 57119997	A	19820726	JP 1981-6137	19810119 <--
JP 62053510	B	19871110		

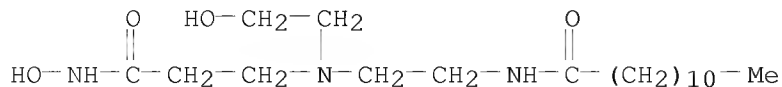
PRIORITY APPLN. INFO.: JP 1981-6137 19810119

AB Et 3-[N-(2-hydroxyethyl)-N-(lauroylaminoethyl)amino]propionate [83952-04-9] (47.9 g) was dissolved in 200 g EtOH, mixed with 10 g NH<sub>2</sub>OH·HCl [5470-11-1] and 12 g NaOH, and stirred for 1-5 h to prepare 45 g 3-[N-(2-hydroxyethyl)-N-(lauroylaminoethyl)amino]propiohydroxamic acid (I) [83952-05-0] which was an inhibitor for urease [9002-13-5]. A solution containing 0.75% I inhibited >60% of the formation of NH<sub>3</sub>.

IT 83952-05-0P  
RL: TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
(surfactants, amphoteric, manufacture of, as inhibitors for urease)

RN 83952-05-0 CAPLUS

CN Dodecanamide, N-[2-[[3-(hydroxyamino)-3-oxopropyl](2-hydroxyethyl)amino]ethyl]- (CA INDEX NAME)



10/923,271

L19 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:145712 CAPLUS

DOCUMENT NUMBER: 90:145712

ORIGINAL REFERENCE NO.: 90:23037a,23040a

TITLE: The selection and evaluation of new chelating agents for the treatment of iron overload

AUTHOR(S): Pitt, C. G.; Gupta, G.; Estes, W. E.; Rosenkrantz, H.; Metterville, J. J.; Crumbliss, A. L.; Palmer, R. A.; Nordquest, K. W.; Sprinkle Hardy, K. A.; et al.

CORPORATE SOURCE: Res. Triangle Inst., Research Triangle Park, NC, USA  
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1979), 208(1), 12-18

CODEN: JPETAB; ISSN: 0022-3565

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A large-scale systematic evaluation of potential iron chelators for the treatment of hemosiderosis was conducted. The compds. were identified and evaluated using a hypertransfused mouse screen in which deferrioxamine B [70-51-9] was a standard. This screen was designed to measure Fe depletion in the tissues as well as Fe excretion. Groups of 10 previously hypertransfused BDF1 male mice received a single daily i.p. injection of either vehicle, standard, or test compound for 7 days. Fe in daily urine pools and individual spleen and liver homogenates was determined by atomic absorption.

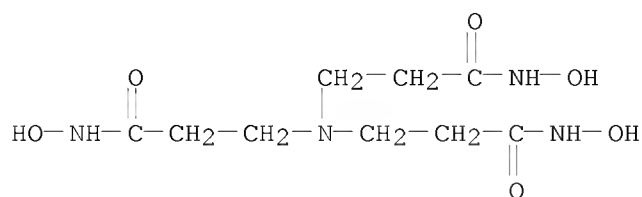
More than 70 chelators were evaluated, including natural and synthetic hydroxamic acids, phenols, catechols and tropolones known to have a high affinity for Fe (III) in vitro. Ethylenediamine-N,N'-bis(2-hydroxyphenylacetic acid) [1170-02-1] was considerable more effective than deferrioxamine B (i.p.) and, in addition, was orally active. Factors determining the efficacy of this and other chelating agents are discussed.

IT 69778-14-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(iron chelation by, in hemosiderosis)

RN 69778-14-9 CAPLUS

CN Propanamide, 3,3',3''-nitrilotris[N-hydroxy- (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L19 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1979:102966 CAPLUS

DOCUMENT NUMBER: 90:102966

ORIGINAL REFERENCE NO.: 90:16255a,16258a

TITLE: Dipolar micelles. 8. Hydrolysis of substituted phenyl esters in a hydroxamic acid surfactant

AUTHOR(S): Pillersdorf, A.; Katzhendler, J.

CORPORATE SOURCE: Sch. Pharm., Hebrew Univ., Jerusalem, Israel  
 SOURCE: Journal of Organic Chemistry (1979), 44(4), 549-54  
 CODEN: JOCEAH; ISSN: 0022-3263

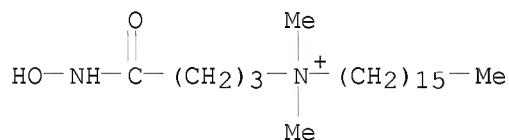
DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The reactions of hydroxamic acid catalysts of the structure  $\text{Me}(\text{CH}_2)_n\text{N}^+\text{Me}_2(\text{CH}_2)_3\text{CONHOH Br}^-$  [ $n = 15$  (I), 0] with substituted Ph esters were studied. The kinetics in I followed the expression:  $k_{\text{obsd}} = k_0 + k_{\text{cka}}/(k_a + \text{H}^+) + k_{\text{OH}}[\text{OH}^-]$ . The water-catalysis rates  $k_0$  for all the esters studied were significantly greater than the spontaneous rate consts. reported in the literature for esters with identical leaving groups. The magnitude of the water rate consts., and their dependence on microenvironmental factors as displayed by mixed micellar systems, indicated that the reaction proceeds via electrophilic assistance by the onium head groups. Nucleophilic attack by the hydroxamate anion ( $\text{kc}$ ) in I on the esters corresponds to a  $\beta$  Broensted value of -1.1. Although I was expected to be an  $\alpha$ -effector catalyst, the relative enhancement of the rate consts. was very small. This was explained in terms of proximity and electrostatic effects in the transition state. The basic-hydrolysis rates  $k_{\text{OH}}$  and the titrimetric behavior of I were also discussed.

IT 68367-35-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and catalysis by, of hydrolysis of substituted Ph esters, kinetics with)

RN 68367-35-1 CAPLUS

CN 1-Hexadecanaminium, N-[4-(hydroxyamino)-4-oxobutyl]-N,N-dimethyl-, bromide (1:1) (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L19 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1973:38037 CAPLUS

DOCUMENT NUMBER: 78:38037

ORIGINAL REFERENCE NO.: 78:5949a, 5952a

TITLE: Potential hypotensive compounds. Substituted 3-aminopropionates and 3-aminopropionohydroxamic acids  
 AUTHOR(S): Biggs, D. F.; Coutts, R. T.; Selley, M. L.; Towill, G. A.

CORPORATE SOURCE: Fac. Pharm. Pharm. Sci., Univ. Alberta, Edmonton, AB, Can.

SOURCE: Journal of Pharmaceutical Sciences (1972),

61(11), 1739-45

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE:

Journal

LANGUAGE:

English

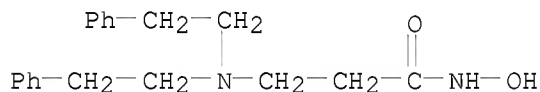
AB Most of the 48 3-aminopropionate esters studied were synthesized by addition of an amine across the  $\alpha,\beta$ -double bond of Me acrylate [96-33-3], Me methacrylate [80-62-6], or Me crotonate [18707-60-3], while the remainder were obtained by interaction of 1 mole of a 3-bromopropionic ester with 2 moles of the corresponding amine. Twenty-six 3-aminopropionohydroxamic acid hydrochlorides were prepared by treatment of the appropriate amino ester with hydroxylamine-HCl [5470-11-1] in MeOH. Many of the compds. such as 2-methyl-3-[(2-phenylethyl)amino]propanoic acid Me ester [6297-67-2], 3,3'-[(2-phenylethyl)imino]bispropanoic acid dimethyl ester [38129-46-3], N-[3-(hydroxyamino)-2-methyl-3-oxopropyl]heptanaminium chloride [38129-47-4], and N-[3-(hydroxyamino)-3-oxopropyl]-2-(2-phenylethyl)benzeneethanaminium chloride [38202-84-5] possessed hypotensive properties but of very short duration. 2-Methyl-3-(octylamino)propanoic acid Me ester [29228-46-4] was the most active, and at 4 mg/kg i.v. decreased the blood pressure of rats by an average of 52% for 12 min. Some of the compds. were screened for their ability to protect mice against a lethal dose of diisopropylfluorophosphate [55-91-4], but none was active.

IT 38202-84-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and hypotensive effect of)

RN 38202-84-5 CAPLUS

CN Propanamide, 3-[bis(2-phenylethyl)amino]-N-hydroxy-, hydrochloride (1:1)  
(CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L19 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1971:75986 CAPLUS

DOCUMENT NUMBER: 74:75986

ORIGINAL REFERENCE NO.: 74:12327a,12330a

TITLE: Synthesis and properties of some hypotensive  
N-alkylaminopropionic esters and  
N,N-dialkylaminopropionic esters and their hydroxamic  
acids

AUTHOR(S): Coutts, Ronald T.; Hubbard, J. W.; Midha, Kamal K.;  
Prasad, Kailash

CORPORATE SOURCE: Fac. Pharm. Pharm. Sci., Univ. Alberta, Edmonton, AB,  
Can.

SOURCE: Journal of Pharmaceutical Sciences (1971),  
60(1), 28-33

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

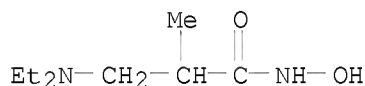
AB Thirty-eight 3-(N-alkylamino)- and 3-(N,N-dialkylamino)propionic esters (I), hydroxamic acids (II), carboxylic acids, and related compds. were synthesized and the majority of the esters and hydroxamic acids decreased the blood pressure of anesthetized cats, while the carboxylic acids were inactive. The esters were prepared by the interaction of methyl acrylate or methyl methacrylate and an appropriate amine. Some hindered amines did not react with the acrylate, and some esters hydrolyzed to the corresponding carboxylic acids when stored even for a short time. The hydroxamic acids were prepared from the amino esters treated with hydroxylamine.

IT 31035-63-9P 31035-64-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 31035-63-9 CAPLUS

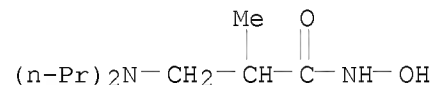
CN Propanamide, 3-(diethylamino)-N-hydroxy-2-methyl-, hydrochloride (1:1)  
(CA INDEX NAME)



● HCl

RN 31035-64-0 CAPLUS

CN Propanamide, 3-(dipropylamino)-N-hydroxy-2-methyl-, hydrochloride (1:1)  
(CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L19 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1964:483943 CAPLUS

DOCUMENT NUMBER: 61:83943

ORIGINAL REFERENCE NO.: 61:14578h,14579a-c

TITLE: Synthesis and polarographic reduction of aliphatic  
amino hydroxamic acids

AUTHOR(S): Matveev, B. V.; Tsybaeva, G. G.

CORPORATE SOURCE: S. M. Kirov Milit. Med. Acad., Leningrad

SOURCE: Zhurnal Obshchei Khimii (1964), 34(8),  
2491-5

CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB The hydroxamic acids listed below were prepared from esters of appropriate amino acids and HONH<sub>2</sub>.HCl in H<sub>2</sub>O or aqueous EtOH at 0-10°; they were isolated as HCl salts after evaporation and extraction with hot EtOH; the HCl salts

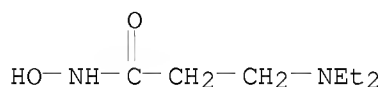
were converted to the free acids with EtONa solution, and further treatment with MeI gave the corresponding methiodides. For these acids, the % yields, m.p., pKa and polarographic half-wave potentials (volts) were as follows: AcNHOH, 45, 85°, 8.70, -2.18; H<sub>2</sub>NCH<sub>2</sub>CONHOH.HCl, 60, 108-9°, 7.35, -2.33; Me<sub>2</sub>NCH<sub>2</sub>CONHOH.HCl, 50, 145°, 7.10, -2.10; Me<sub>3</sub>NCH<sub>2</sub>CONHOH.Br, 52, 156°, 6.70, -2.38; Et<sub>2</sub>NCH<sub>2</sub>CONHOH.HCl, 44, 118-19°, 7.20, -2.10; Et<sub>3</sub>NCH<sub>2</sub>CONHOH.Br, 31, 141-3°, 6.60, -2.12; H<sub>2</sub>NCHMeCONHOH.HCl, 52, 165°, 7.25, -2.35; Me<sub>2</sub>NCHMeCONHOH.HCl, 51, 170-1°, 6.80, -2.28; Me<sub>3</sub>NCHMeCONHOH.I, 72, 80-1°, 6.65, -2.45; H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 20, 144°, 7.90, -2.30; Me<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 82, 90-1°, 7.85, -2.25; Me<sub>3</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH.I, 73, 133-4°, 8.0, -2.22; Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 77, 91-2°, 8.15, -2.20; 2NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 50, 80-1°, 8.40, -2.22; Me<sub>3</sub>NCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CONHOH.Br, 33, 163-5°, 8.60, -2.22; PhCH<sub>2</sub>NMe<sub>2</sub>CH<sub>2</sub>CONHOH.Br, 43, -, 6.70, -2.15; PhCH<sub>2</sub>NMe<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CONHOH.Br, 41, -, 8.40, -2.19; HO<sub>2</sub>CCH(NH<sub>2</sub>)CH<sub>2</sub>CH<sub>2</sub>CONHOH.HCl, 43, 114, -, -2.18; MeSCH<sub>2</sub>CH<sub>2</sub>CH(NH<sub>2</sub>)CONHOH.HCl, 61, 130-2°, 6.60, -2.17; CH<sub>2</sub>[CH<sub>2</sub>NMe<sub>2</sub>CH<sub>2</sub>CONHOH]2.2Br, 47, -, 6.20, -2.36. The correlation of the half-wave potentials with dissociation consts. is discussed.

IT 91773-87-4P, Propionohydroxamic acid, 3-(diethylamino)-, hydrochloride

RL: PREP (Preparation)  
(preparation of)

RN 91773-87-4 CAPLUS

CN Propanamide, 3-(diethylamino)-N-hydroxy-, hydrochloride (1:1) (CA INDEX NAME)



● HCl